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NEWS 4 MAR 31 CA/CAplus and CASREACT patent number format for U.S. applications updated
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NEWS 6 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
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NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family searching
NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 14 JUN 06 KOREAPAT updated with 41,000 documents
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from web-based collections
NEWS 17 JUN 25 CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 20 JUN 30 STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 22 JUL 28 CA/CAplus patent coverage enhanced
NEWS 23 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25 JUL 28 STN Viewer performance improved

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 12:11:37 ON 29 JUL 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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DICTIONARY FILE UPDATES:  28 JUL 2008  HIGHEST RN 1036756-19-0

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Uploading C:\Program Files\Stnexp\Queries\10566101a.str
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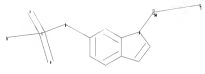

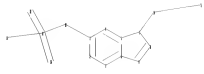

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chain nodes :
10  11  12  14  15  16  17
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
3-10  5-7  7-8  7-14  10-11  11-12  11-15  11-16  14-17
exact bonds :
6-9  8-9
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:Cy,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  14:CLASS  15:Atom  16:CLASS  17:CLASS
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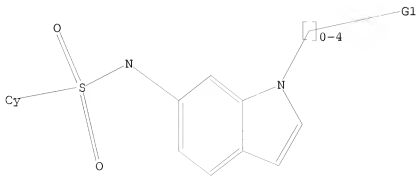
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 Cy,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 12:12:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4764 TO ITERATE

100.0% PROCESSED 4764 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L2 20 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 178.36 TOTAL SESSION 178.57
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:12:13 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

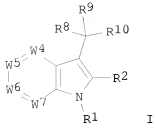
=> s l2 full
L3           8 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:410811 CAPLUS
DOCUMENT NUMBER: 146:421837
TITLE: Preparation of fused pyrrole derivatives as GR modulators
INVENTOR(S): Sone, Toshihiko; Sawaki, Rieko; Nakajima, Tomoko
PATENT ASSIGNEE(S): Dainippon Sumitomo Pharma Co., Ltd., Japan
SOURCE: PCT Int. Appl., 403pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007040166 | A1 | 20070412 | WO 2006-JP319426 | 20060929 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006298164 | A1 | 20070412 | AU 2006-298164 | 20060929 |
| CA 2623154 | A1 | 20070412 | CA 2006-2623154 | 20060929 |
| EP 1930320 | A1 | 20080611 | EP 2006-810832 | 20060929 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| KR 2008063288 | A | 20080703 | KR 2008-707427 | 20080327 |
| IN 2008DN02633 | A | 20080704 | IN 2008-DN2633 | 20080328 |

PRIORITY APPLN. INFO.: JP 2005-286576 A 20050930
WO 2006-JP319426 W 20060929
OTHER SOURCE(S): MARPAT 146:421837
GI



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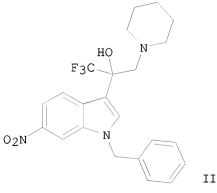


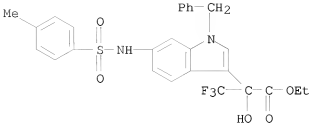
II

AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, carboxyl, etc.; -W4:W5-W6:W7- = -CR4:CR5-CR6:CR7-, -N:CR5-CR6:CR7-, -CR4:N-CR6:CR7-, etc.; R4-R7 = -E-A; E = single bond, -O-, -CO-, etc.; when E is a single bond, A is H, halo, cyano, etc.; when E is -O-, -CO-, etc., A is H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R8 = -OR11, -SR11, -N(R11)R12; R11, R12 = H, (un)substituted alkyl; R9 = alkyl substituted with halo, cycloalkyl substituted with halo; R10 = -[C(R13)R14]n-R15; R13, R14 = H, alkyl, halo; R13 and R14 may combine to form a oxo group; or R13 and R14, together with the carbon atom to which they are attached, form a cycloalkane (one or two -CH2- in cycloalkane may be replaced with -NH-, -S-, -S(:O)-, etc.); n = 0-10; R15 = hydroxy, (un)substituted alkyl, (un)substituted alkenyl, etc.], prodrugs or pharmaceutically acceptable salts were prepared For example, reaction of 1-(1-benzyl-6-nitro-1H-indol-3-yl)-2,2,2-trifluoroethanone, e.g., prepared from 6-nitroindole in 2 steps, with trimethylphosphonium iodide followed by treatment with piperidine afforded compound II. In glucocorticoid receptor (GR) binding assays, compound II exhibited the inhibitory activity of 92% at 100 nM. Compds. I are claimed useful for the treatment of inflammation and diabetes.

IT 934224-55-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrole derivs. as GR modulators for treatment of inflammation and diabetes)

RN 934224-55-2 CAPLUS

CN 1H-Indole-3-acetic acid, α-hydroxy-6-[[(4-methylphenyl)sulfonyl]amino]-1-(phenylmethyl)-α-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



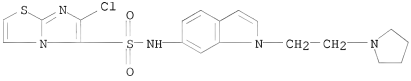

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:470334 CAPLUS
DOCUMENT NUMBER: 143:125834
TITLE: A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists
AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes
CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(13), 4216-4219
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting with Phe6.52.

IT 753020-94-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)

RN 753020-94-9 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136598 CAPLUS
DOCUMENT NUMBER: 142:240323
TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005014045 | A1 | 20050217 | WO 2004-EP8514 | 20040729 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| ES 2228268 | A1 | 20050401 | ES 2003-1815 | 20030730 |
| ES 2228268 | B1 | 20060701 | | |
| AU 2004262488 | A1 | 20050217 | AU 2004-262488 | 20040729 |
| CA 2534099 | A1 | 20050217 | CA 2004-2534099 | 20040729 |
| EP 1660131 | A1 | 20060531 | EP 2004-741321 | 20040729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| IN 2005DN06119 | A | 20080711 | IN 2005-DN6119 | 20051228 |
| MX 2006PA01230 | A | 20060515 | MX 2006-PA1230 | 20060130 |
| US 20070009597 | A1 | 20070111 | US 2006-566402 | 20060705 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1815 A | 20030730 |
| | | | WO 2004-EP8514 W | 20040729 |

OTHER SOURCE(S): CASREACT 142:240323; MARPAT 142:240323
GI

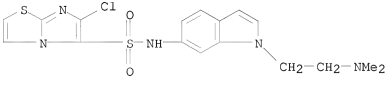
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;
A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5,

(un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-88-1P 753020-90-5P 753020-91-6P
753020-94-9P 753020-96-1P 753020-97-2P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P 844477-72-1P 844477-79-8P
844477-84-5P 844477-87-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-90-5 CAPLUS
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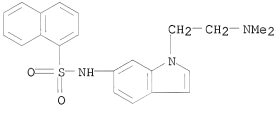
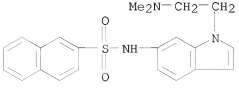
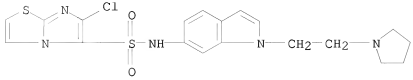


RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-94-9 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-

pyrrolidinyl)ethyl]-1H-indol-6-yl]-  (CA INDEX NAME)



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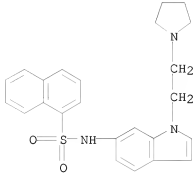

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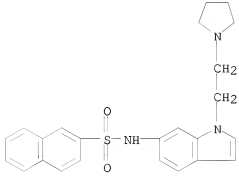
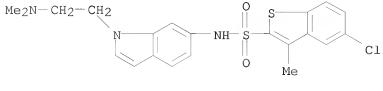
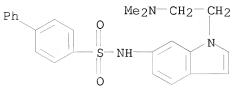
(CA INDEX NAME)



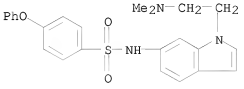
RN  844477-59-4  CAPLUS
CN  Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-
indol-6-yl]-3-methyl-  (CA INDEX NAME)



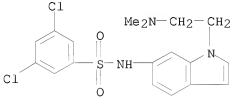
RN 844477-64-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



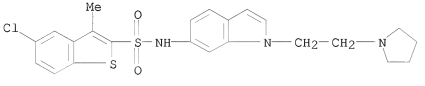
RN 844477-68-5 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy- (CA INDEX NAME)



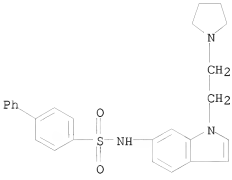
RN 844477-70-9 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



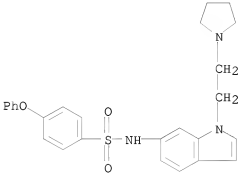
RN 844477-72-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



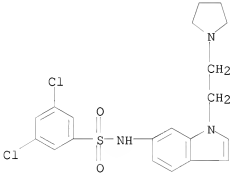
RN 844477-79-8 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-84-5 CAPLUS
CN Benzenesulfonamide, 4-phenoxy-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-87-8 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136568 CAPLUS
DOCUMENT NUMBER: 142:240322
TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 451 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005014000 | A1 | 20050217 | WO 2004-EP8515 | 20040729 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| ES 2228267 | A1 | 20050401 | ES 2003-1814 | 20030730 |
| ES 2228267 | B1 | 20060701 | | |
| AU 2004262489 | A1 | 20050217 | AU 2004-262489 | 20040729 |
| CA 2534100 | A1 | 20050217 | CA 2004-2534100 | 20040729 |
| EP 1648468 | A1 | 20060426 | EP 2004-763612 | 20040729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| IN 2005DN06118 | A | 20080711 | IN 2005-DN6118 | 20051228 |
| MX 2006PA01232 | A | 20060515 | MX 2006-PA1232 | 20060130 |
| US 20070059364 | A1 | 20070315 | US 2006-566100 | 20061026 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1814 A | 20030730 |
| | | | WO 2004-EP8515 W | 20040729 |

OTHER SOURCE(S): MARPAT 142:240322
GI

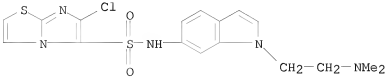
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocycly1; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alky1, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.;

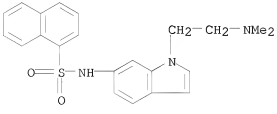
R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-88-1P 753020-90-5P 753020-91-6P
753020-94-9P 753020-96-1P 753020-97-2P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P 844477-72-1P 844477-79-8P
844477-84-5P 844477-87-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

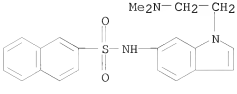
RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-90-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

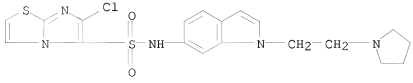


RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

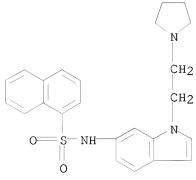


RN 753020-94-9 CAPLUS

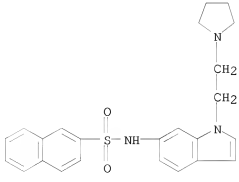
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



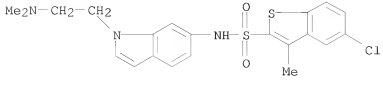
RN 753020-96-1 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



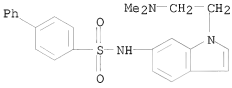
RN 753020-97-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



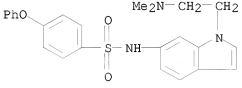
RN 844477-59-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



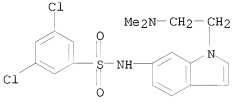
RN 844477-64-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



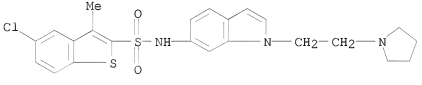
RN 844477-68-5 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy- (CA INDEX NAME)



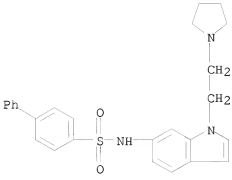
RN 844477-70-9 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



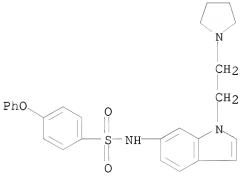
RN 844477-72-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



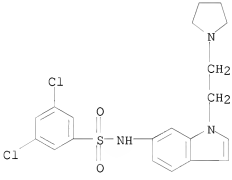
RN 844477-79-8 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-84-5 CAPLUS
CN Benzenesulfonamide, 4-phenoxy-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-87-8 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

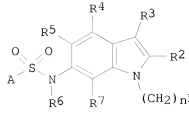


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136548 CAPLUS
DOCUMENT NUMBER: 142:240309
TITLE: Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT6 modulators
INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005013976 | A1 | 20050217 | WO 2004-EP8510 | 20040729 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| ES 2222832 | A1 | 20050201 | ES 2003-1810 | 20030730 |
| ES 2222832 | B1 | 20060216 | | |
| AU 2004262484 | A1 | 20050217 | AU 2004-262484 | 20040729 |
| CA 2533970 | A1 | 20050217 | CA 2004-2533970 | 20040729 |
| EP 1660077 | A1 | 20060531 | EP 2004-741319 | 20040729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1832738 | A | 20060913 | CN 2004-80022271 | 20040729 |
| BR 2004013112 | A | 20061003 | BR 2004-13112 | 20040729 |
| JP 2007500164 | T | 20070111 | JP 2006-521528 | 20040729 |
| NZ 545301 | A | 20080530 | NZ 2004-545301 | 20040729 |
| MX 2006PA01141 | A | 20060424 | MX 2006-PA1141 | 20060127 |
| NO 2006000682 | A | 20060210 | NO 2006-682 | 20060210 |
| US 20070043041 | A1 | 20070222 | US 2006-566101 | 20060810 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1810 A | 20030730 |
| | | | WO 2004-EP8510 W | 20040729 |

OTHER SOURCE(S): CASREACT 142:240309; MARPAT 142:240309
GI



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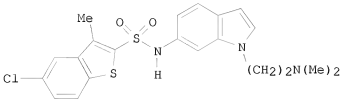


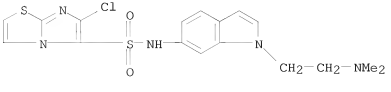
II

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9 = H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10-6M concns.

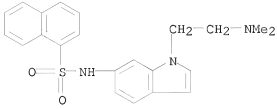
IT 753020-88-1P 753020-90-5P 753020-91-6P
753020-94-9P 753020-96-1P 753020-97-2P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P 844477-72-1P 844477-79-8P
844477-84-5P 844477-87-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-88-1 CAPLUS

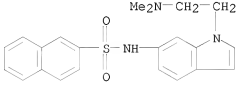
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



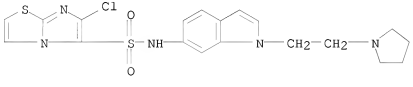
RN 753020-90-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



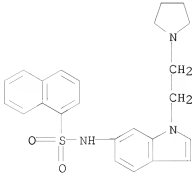
RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-94-9 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-
pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-96-1 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-97-2 CAPLUS
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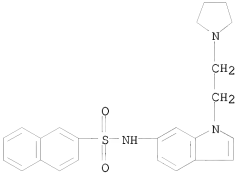
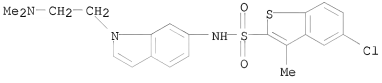
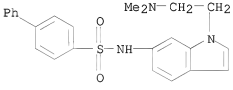
(CA INDEX NAME)



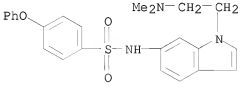
RN 844477-59-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



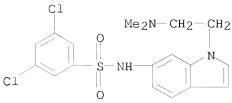
RN 844477-64-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



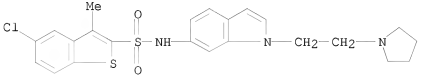
RN 844477-68-5 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy- (CA INDEX NAME)



RN 844477-70-9 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-72-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-79-8 CAPLUS
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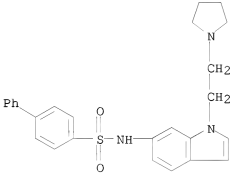

RN 844477-84-5 CAPLUS
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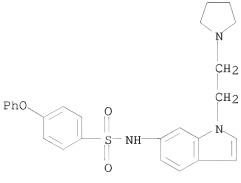
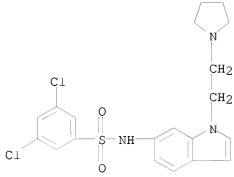


RN 844477-87-8 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



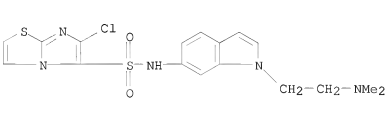

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:725572 CAPLUS
DOCUMENT NUMBER: 142:211383
TITLE: Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT6 Receptor Ligands
AUTHOR(S): Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut
CORPORATE SOURCE: Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1781-1795
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:211383

AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT6 receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT6 ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors. First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared Compds. 19c and 19g represent the highest-affinity 5-HT6 agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT6 receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

IT 753020-88-1P 753020-89-2P 753020-90-5P
753020-91-6P 753020-93-8P 753020-94-9P
753020-96-1P 753020-97-2P 844477-72-1P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(medicinal chemical driven approaches toward novel and selective serotonin 5-HT6 receptor ligands)

RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)




RN 753020-89-2 CAPLUS
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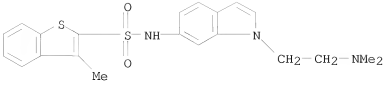
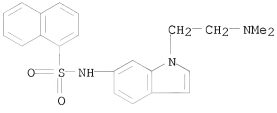
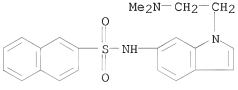


RN 753020-90-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-93-8 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-
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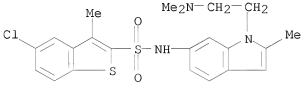
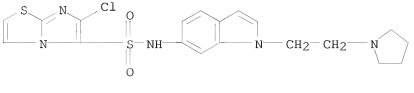
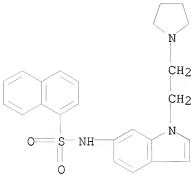


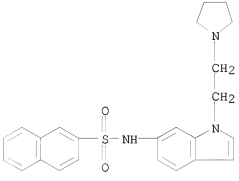
RN 753020-94-9 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-
pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



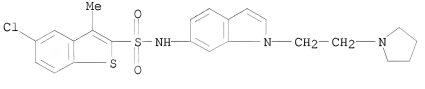
RN 753020-96-1 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-97-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-72-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



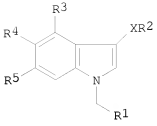
REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:412918 CAPLUS
DOCUMENT NUMBER: 140:423584
TITLE: A preparation of indole derivatives useful in the treatment of androgen-receptor related diseases
INVENTOR(S): Hermkens, Pedro Harold Han; Stock, Herman Thijs; Teerhuis, Neeltje Miranda; Lommerse, Johannes Petrus Maria; Van der Louw, Jaap
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004041782 | A1 | 20040521 | WO 2003-EP50783 | 20031103 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2504907 | A1 | 20040521 | CA 2003-2504907 | 20031103 |
| AU 2003301853 | A1 | 20040607 | AU 2003-301853 | 20031103 |
| BR 2003016020 | A | 20050920 | BR 2003-16020 | 20031103 |
| EP 1585727 | A1 | 20051019 | EP 2003-810458 | 20031103 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1714078 | A | 20051228 | CN 2003-80103950 | 20031103 |
| JP 2006507293 | T | 20060302 | JP 2004-549180 | 20031103 |
| NZ 539657 | A | 20080430 | NZ 2003-539657 | 20031103 |
| RU 2328484 | C2 | 20080710 | RU 2005-117374 | 20031103 |
| NO 2005002012 | A | 20050526 | NO 2005-2012 | 20050425 |
| ZA 2005003559 | A | 20060830 | ZA 2005-3559 | 20050504 |
| IN 2005CN00826 | A | 20070817 | IN 2005-CN826 | 20050504 |
| MX 2005PA04929 | A | 20050818 | MX 2005-PA4929 | 20050506 |
| US 20060128722 | A1 | 20060615 | US 2005-534945 | 20050506 |
| LV 13359 | B | 20060320 | LV 2005-68 | 20050607 |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| | EP 2002-79648 | A | 20021107 |
| | US 2002-424579P | P | 20021107 |
| | WO 2003-EP50783 | W | 20031103 |

OTHER SOURCE(S): MARPAT 140:423584
GI

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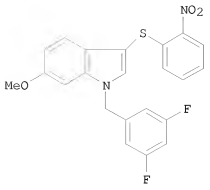
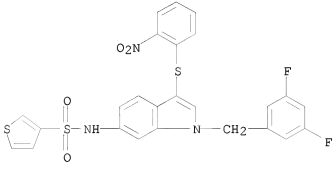



II

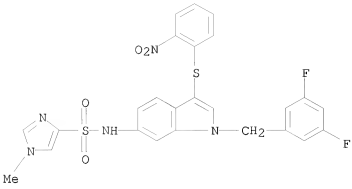
AB The invention relates to a preparation of indole derivs. of formula I [wherein: X = S, S(O), SO2; R1 is (un)substituted 5- or 6-membered monocyclic, (hetero/homo)cyclic ring; R2 is 2-O2NC6H4, 2-cyanophenyl, 2-hydroxymethylphenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, etc.; R3 is H, halogen or C1-4alkyl; R4 is H, OH, C1-4alkoxy, or halogen; R5 is H, OH, C1-4alkoxy, NH2, CN, halogen, C1-4fluoroalkyl, or NO2, etc.], useful for the treatment of androgen-receptor related diseases. Anti-androgenic activity of the invented compds. was determined in an in vitro bioassay of Chinese hamster ovary (CHO) cells stably transfected with the human androgen receptor expression plasmid and a reporter plasmid in which the MMTV-promoter was linked to the luciferase reporter gene. For instance, indole derivs. II (EC50 < 5 nM; efficacy > 0.8) was prepared via N-benzylation of 6-methoxyindole by 3,5-difluorobenzyl bromide, and subsequent addition of the obtained 1-(3,5-difluorobenzyl)-6-methoxy-1H-indole to 2-nitrobenzenesulfenyl chloride (example 1).

IT 691400-43-8P 691400-44-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

RN 691400-43-8 CAPLUS
CN 3-Thiophenesulfonamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]-1H-indol-6-yl]- (CA INDEX NAME)




RN 691400-44-9 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]-1H-indol-6-yl]-1-methyl- (CA INDEX NAME)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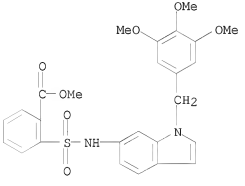
L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:389755 CAPLUS
DOCUMENT NUMBER: 139:270249
TITLE: New Analogues of the Anticancer E7070: Synthesis and Pharmacology
AUTHOR(S): Laconde, G.; Pommery, N.; Depreux, P.; Berthelot, P.; Henichart, J.-P.
CORPORATE SOURCE: Institut de Chimie Pharmaceutique Albert Lespagnol, EA 2692, Lille, 59006, Fr.
SOURCE: Journal of Enzyme Inhibition and Medicinal Chemistry (2003), 18(2), 89-94
CODEN: JEIMAZ; ISSN: 1475-6366
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:270249

AB Cell cycle control in the G1 phase has attracted considerable attention in recent cancer research, because many of the important proteins involved in G1 progression or G1/S transition have been found to play a crucial role in proliferation, differentiation, transformation, and programmed cell death (apoptosis). E7070 is a novel antitumor sulfonamide, with a unique mode of action that affects G1 progression of the cell cycle. A series of compds. containing an N-[1-(3,4,5-trimethoxybenzyl)-1H-indol-5-yl]benzene sulfonamide, analogs of E7070, was synthesized and evaluated as potential antitumor agents. Cell cycle anal. with PC3 human prostate cancer cells revealed a cellular accumulation in the G1 phase.

IT 605657-93-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of anticancer E7070 analogs)

RN 605657-93-0 CAPLUS
CN Benzoic acid, 2-[[[1-[(3,4,5-trimethoxyphenyl)methyl]-1H-indol-6-yl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)




REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> logy
LOGY IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> log y
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                44.08     222.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                -6.40      -6.40

STN INTERNATIONAL LOGOFF AT 12:12:53 ON 29 JUL 2008
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